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Applicants: Francis G. Fang and Shiping Xie
Serial No.: 09/903,101
Filed 11, 2001
Page 8



Remarks

Claims 3 were pending in the subject application. By this amendment, applicants have canceled claims 1 and 3, added new claim 4 and amended claim 2. Accordingly, claims 2 and 4 are currently pending.

Support for amended claim 2 can be found inter alia in the specification, as originally filed, on pages 3-6.

Support for new claim 4 can be found inter alia in the specification, as originally filed, on pages 3-6 and page 9.

Restriction Requirement

In the Restriction Requirement issued on May 8, 2002, the Examiner required restriction to one of the following allegedly distinct inventions as follows:

- I. Claim 1, drawn to a process of preparing compounds of formula I from formula II.
- II. Claim 3, drawn to compounds.
- III. Claim 2, drawn to compounds which are not encompassed by claim 3.

In response, applicants elect Group III, without traverse.

Applicants have amended claim 2, to remove the compounds of claim 3 from the scope of the claim. Applicants have also removed compounds of formulas (III) and (VI) from claim 2 and have moved compounds of formula (IV) to new claim 4.

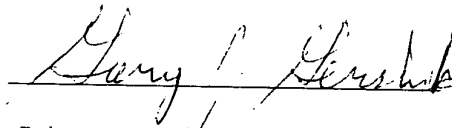
If a telephone interview would be of assistance in advancing prosecution of the subject application, applicants' undersigned attorney invites the Examiner to telephone him at the number

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Page 9

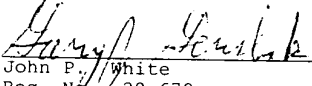
provided below.

No fee is deemed necessary in connection with the filing of this Amendment and Response to Restriction Requirement. However, if any fee is required, authorization is hereby given to charge the amount of any such fee to Deposit Account No. 03-3125.

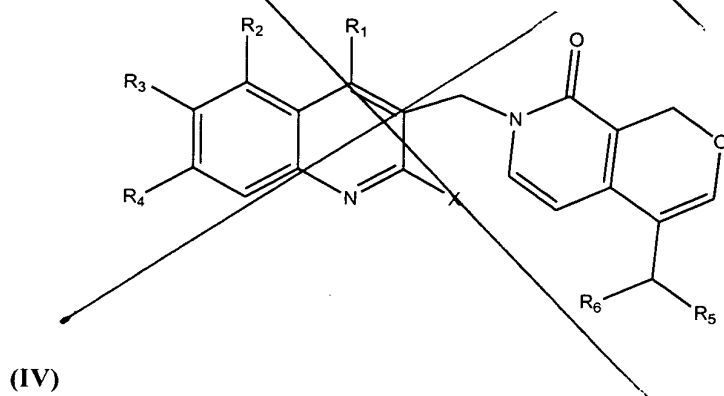
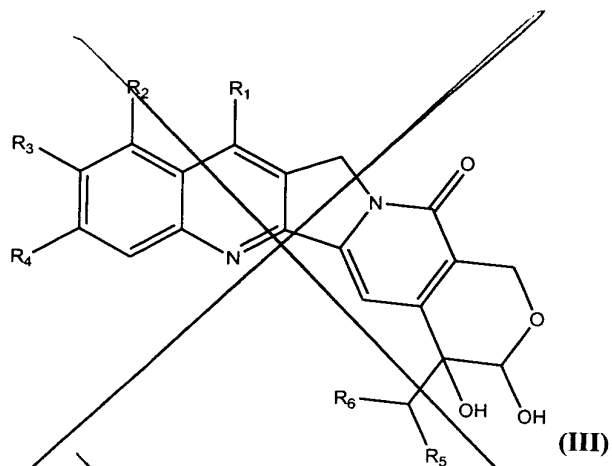
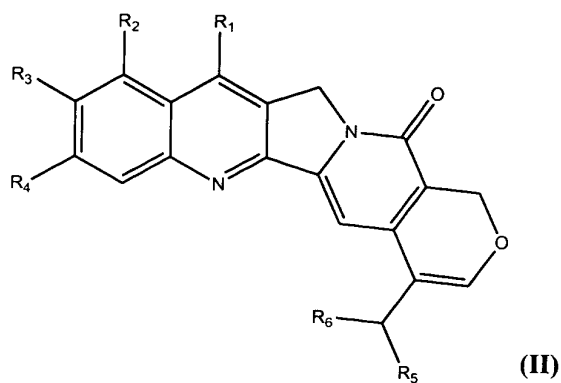
Respectfully submitted,

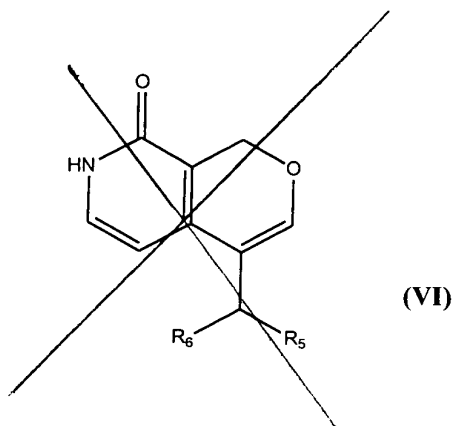


John P. White
Registration No. 28,678
Gary J. Gershik
Registration No. 39,992
Attorneys for Applicants
Cooper & Dunham LLP
1185 Avenue of the Americas
New York, New York 10036
(212) 278-0400

I hereby certify that this correspondence is being deposited this date with the U.S. Postal Service with sufficient postage as first class mail in an envelope addressed to: Assistant Commissioner for Patents Washington, DC 20231.	
	Date <u>6/7/02</u>
John P. White	
Reg. No. 28,678	
Gary J. Gershik	
Reg. No. 39,992	

2. (Amended) A compound of formulas (II), (III), (IV), or (V):





wherein:

R₁ is selected from hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl;

~~R₁ and R₂, which may be the same or different, are independently~~ is selected from hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl, or (–CH₂NR₇R₈), wherein:

i) R₇ and R₈, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C₃₋₇) cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or

ii) R₇ represents hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl, and R₈ represents –COR₉,

wherein:

R₉ represents hydrogen, lower alkyl, perhalo-lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, lower

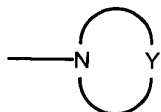
alkoxy, lower alkoxy lower alkyl; or

iii) R_7 represents hydrogen or lower alkyl; and R_8 represents diphenyl-methyl or $-(CH_2)_t$ Ar

wherein:

t is 0 to 5 and Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

iv) R_7 and R_8 taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)



(IA)

wherein:

Y represents O, S, SO, SO₂, CH₂ or NR₁₀,

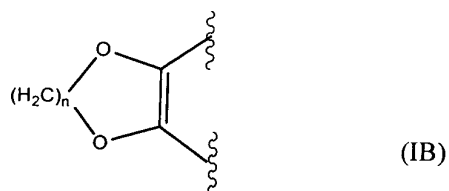
wherein:

R_{10} represents hydrogen, lower alkyl, perhalo lower alkyl, aryl, aryl substituted with one or more substituents selected from lower alkyl, lower alkoxy, halogen, nitro, amino, lower alkyl amino, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups or $-COR_{11}$,

wherein:

R_{11} represents hydrogen, lower alkyl, perhalo-lower alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from lower alkyl, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups; or

R_3 and R_4 are independently selected from hydrogen, lower alkyl, (C_{3-7}) cycloalkyl, (C_{3-7}) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl; or R_3 and R_4 taken together form a saturated 5 to 6 atom heterocyclic group of formula (IB)



wherein,

n represents the integer 1 or 2; or

R_3 represents $-OCONR_{12}R_{13}$,

wherein,

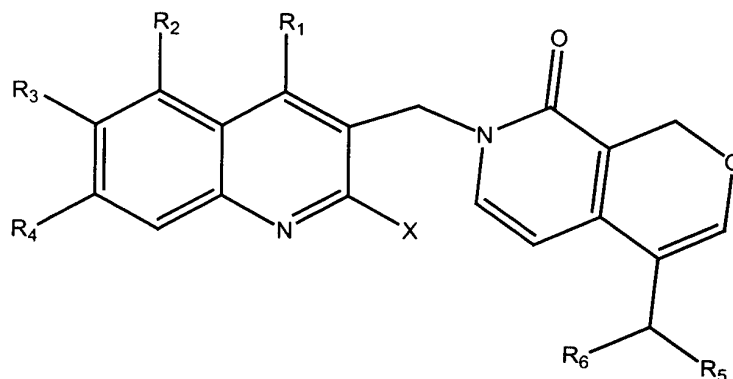
R_{12} and R_{13} , which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both R_{12} and R_{13} are substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with $-O-$, $-S-$ and/or $>N-R_{14}$ in which R_{14} is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group; and

R_5 represents hydrogen or alkyl; and

R_6 represents hydrogen or alkyl, and

or a pharmaceutically acceptable salts thereof.

4. (New) A compound of formula (IV):



(IV)

wherein:

X represents triflate or halo;

R₁ and R₂, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇)cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl, or (-CH₂NR₇R₈), wherein:

i) R₇ and R₈, which may be the same or different, are independently selected from hydrogen, lower alkyl, (C₃₋₇) cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or

ii) R₇ represents hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or lower alkoxy lower alkyl, and R₈ represents -COR₉,

wherein:

R₉ represents hydrogen, lower alkyl, perhalo-lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, lower

alkoxy, lower alkoxy lower alkyl; or

iii) R₇ represents hydrogen or lower alkyl; and R₈ represents diphenyl-methyl or – (CH₂)_t Ar

wherein:

t is 0 to 5 and Ar represents phenyl, furyl, pyridyl, N-methylpyrrolyl, imidazolyl optionally substituted with one or more substituents selected from hydroxy, methyl, halogen, and amino; or

iv) R₇ and R₈ taken together with the linking nitrogen form a saturated 3 to 7 atom heterocyclic group of formula (IA)



wherein:

Y represents O, S, SO, SO₂, CH₂ or NR_{10a}

wherein:

R₁₀ represents hydrogen, lower alkyl, perhalo lower alkyl, aryl, aryl substituted with one or more substituents selected from lower alkyl, lower alkoxy, halogen, nitro, amino, lower alkyl amino, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups or – COR_{11a}

wherein:

R₁₁ represents hydrogen, lower alkyl, perhalo-lower alkyl, lower alkoxy, aryl, aryl substituted with one or more substituents selected from lower alkyl, perhalo-lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl groups;

R₃ represents -OCONR₁₂R₁₃,

wherein,

R₁₂ and R₁₃, which may be the same or different, are independently selected from hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted carbocyclic or heterocyclic group, with the proviso that when both R₁₂ and R₁₃ are substituted or unsubstituted alkyl groups, they may be combined together with the nitrogen atom, to which they are bonded, to form a heterocyclic ring which may be interrupted with -O-, -S- and/or -N-R₁₄ in which R₁₄ is hydrogen, a substituted or unsubstituted alkyl group with 1-4 carbon atoms or a substituted or unsubstituted phenyl group;

R₄ is selected from hydrogen, lower alkyl, (C₃₋₇)cycloalkyl, (C₃₋₇) cycloalkyl lower alkyl, lower alkenyl, hydroxy lower alkyl, or alkoxy alkyl;

R₅ represents hydrogen or alkyl; and

R₆ represents hydrogen or alkyl,

or a pharmaceutically acceptable salt thereof.